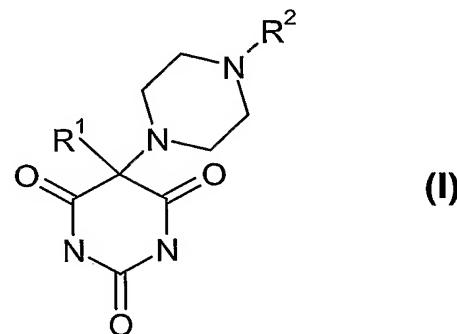


Patent Claims

1. A trioxopyrimidine-cyclodextrin complex formed of a trioxopyrimidine derivative or a salt thereof and a water-soluble cyclodextrin, wherein the trioxopyrimidine derivative is represented by formula (I):



5

wherein

R<sup>1</sup> is C<sub>3</sub>-C<sub>20</sub> alkyl, which may optionally be interrupted once or several times by -S-, -O- or -NH-; or

10

a group W-V, wherein

W is a chemical bond or phenyl; and

V is phenyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl or phenylamino, which phenyl moieties may be unsubstituted or substituted once or several times by halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylamino, cyano, nitro or C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl; and

15

20

R<sup>2</sup> is C<sub>1</sub>-C<sub>10</sub> alkyl, which alkyl group is unsubstituted or substituted one or two times by hydroxy or amino and may optionally be interrupted once or several times by -S-, -O- or -NH-;

25

a benzoyl group, which may be unsubstituted or substituted once or several times by halogen, hydroxy, nitro, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, amidosulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamidosulfonyl, bis-C<sub>1</sub>-C<sub>6</sub>-alkylamidosulfonyl;

a heteroaromatic acyl group; or

5 a phenyl- or heteroaryl group, which are unsubstituted or substituted once or several times by halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkylamino, C<sub>1</sub>-C<sub>6</sub>-dialkylamino, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>6</sub>-acyl, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>-alkylaminocarbonyl, aminocarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylamidosulfonyl, amidosulfonyl, bis-C<sub>1</sub>-C<sub>6</sub>-alkylamidosulfonyl, nitro, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, carboxy.

10

2. A trioxopyrimidine-cyclodextrin complex according to claim 1, wherein L-Lysine or L-arginine is added as adjuvant.

15 3. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 2, wherein the trioxopyrimidine derivative is

5-Biphenyl-4-yl-5-[4-(4-nitro-phenyl)-piperazin-1-yl]pyrimidine-2,4,6-trione;

5-(4-Phenoxy-phenyl)-5-(4-pyrimidin-2-yl-piperazin-1-yl)-pyrimidine-2,4,6-trione;

20 5-[4-(4-Chloro-phenoxy)-phenyl]-5-(4-pyrimidin-2-yl-piperazin-1-yl)-pyrimidine-2,4,6-trione ;

5-[4-(3,4-Dichloro-phenoxy)-phenyl]-5-(4-pyrimidin-2-yl-piperazin-1-yl)-pyrimidine-2,4,6-trione;

25 5-[4-(4-Bromo-phenoxy)-phenyl]-5-(4-pyrimidin-2-yl-piperazin-1-yl)-pyrimidine-2,4,6-trione

or a salt thereof.

4. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 3, wherein the water-soluble cyclodextrin is  $\beta$ -cyclodextrin.

- 42 -

5. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 3, wherein the water-soluble cyclodextrin is hydroxypropylated cyclodextrin.
6. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 3, wherein the water-soluble cyclodextrin is random methylated cyclodextrin.
- 5 7. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 3, wherein the water-soluble cyclodextrin is sulfobutyl- $\beta$ -cyclodextrin.
8. A trioxopyrimidine-cyclodextrin complex according to any one of claims 1 to 3, wherein the water-soluble cyclodextrin is  $\gamma$ -cyclodextrin.
9. A pharmaceutical formulation containing a trioxopyrimidine-cyclodextrin complex as defined in any one of claims 1 to 8.
10. A pharmaceutical formulation according to claim 9 containing a pharmaceutically acceptable additive.